

Product Name: ARV-471  
Cat. No.: GC62723

Cas. No. 2229711-68-4

Formula  $C_{45}H_{49}N_5O_4$

M.Wt 723.9

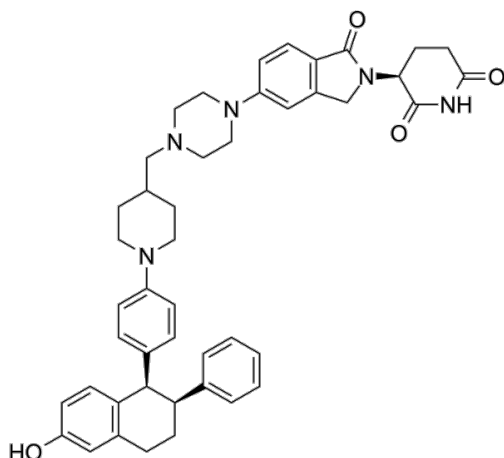
|            |                                                  |
|------------|--------------------------------------------------|
| Solubility | DMSO : 110 mg/mL (151.95 mM;<br>Need ultrasonic) |
|------------|--------------------------------------------------|

|         |                                                              |
|---------|--------------------------------------------------------------|
| Storage | Store at -20°C, sealed storage, away from moisture and light |
|---------|--------------------------------------------------------------|

|              |                                                                                                                                                                                 |
|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|
| General tips | For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months. |
|--------------|---------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|

|                    |                                                                                                                    |
|--------------------|--------------------------------------------------------------------------------------------------------------------|
| Shipping Condition | Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request. |
|--------------------|--------------------------------------------------------------------------------------------------------------------|

## Structure



Cell experiment [1]:

Cell lines MCF7、T47D、T47D ER-Y537S andT47D ER-D538G

**Caution: Product has not been fully validated for medical applications. For research use only.**

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**Address: 10292 Central Ave. #205, Montclair, CA, USA**

## Product Data Sheet

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**Preparation Method** Five days after ARV-471 treatment of cells, ATP levels were measured using the luminescent Cell-Titer Glo assay to determine cell viability.

**Reaction Conditions** 0.1,1,10,100 and1000 nM, 5 days

**Applications** ARV-471 inhibits the growth of both WT and mutant ER dependent breast cancer cells in-vitro.

**Animal experiment [1]:**

**Animal models** MCF7 orthotopic xenograft model

**Preparation Method** When the average tumor volume of mice reached 200 mm<sup>3</sup>, ARV-471 was administered orally once a day for 28 consecutive days.

**Dosage form** 3, 10 and 30 mg/kg/day, 28 days, p.o.

**Applications** ARV-471 displayed dose-dependent tumor growth inhibition at 3, 10 and 30 mg/kg daily, with tumor (volume) inhibition rates of 85%, 98%, and 120%, respectively.

### References:

[1]. Gough S M, Flanagan J J, Teh J, et al. Oral estrogen receptor PROTAC® vepdegestrant (ARV-471) is highly efficacious as monotherapy and in combination with CDK4/6 or PI3K/mTOR pathway inhibitors in preclinical ER+ breast cancer models[J]. Clinical Cancer Research, 2024.

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### Background

ARV-471 is an orally bioavailable estrogen receptor-targeted (ER-targeted) PROTAC for the treatment of patients with locally advanced or metastatic ER+/HER2- breast cancer<sup>[1]</sup>.

ARV-471 induces degradation of wild-type and mutant ER ( $\geq 90\%$ ), including MCF-7 cells and ESR1 mutant lines<sup>[1]</sup>. ARV-471 can inhibit the proliferation of MCF7, T47D, T47D ER-Y537S and T47D ER-D538G cells, with GI<sub>50</sub> of 3.3, 4.5, 7.9 and 5.7 nM, respectively<sup>[2]</sup>.

ARV-471 (10 and 30 mpk, 27 days) can inhibit the growth of Patient-Derived tumor Xenograft model with ESR1 mutations and has a good tumor inhibitory effect<sup>[1]</sup>. ARV-471 (3, 10 and 30 mg/kg, 28 days) dose-dependently inhibited tumor growth in the MCF7 orthotopic xenograft model and promoted ER degradation ( $\geq 94\%$ )<sup>[2]</sup>.

### References:

[1] Snyder L B, Flanagan J J, Qian Y, et al. The discovery of ARV-471, an orally bioavailable estrogen receptor degrading PROTAC for the treatment of patients with breast cancer[J]. Cancer Res, 2021, 81(13): 44.

[2] Gough S M, Flanagan J J, Teh J, et al. Oral estrogen receptor PROTAC® vepdegestrant (ARV-471) is highly efficacious as monotherapy and in combination with CDK4/6 or PI3K/mTOR pathway inhibitors in preclinical ER+ breast cancer models[J]. Clinical Cancer Research, 2024.

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